salt thereof:

CLAIMS

1. A method for preventing or treating a disease for which the FXa inhibitor is indicated, comprising:

administering an effective amount of a composition

comprising a pharmaceutical carrier and at least one of the compound represented by the following formula (I') or a

MARKUD UP VERSION

$$R_{2}$$
 R_{3} R_{6} R_{7} R_{6} R_{7} R_{1} R_{2} R_{3} R_{6} R_{7} R_{7} R_{1} R_{2} R_{2} R_{3} R_{4} R_{5} R_{8} R_{9} R_{9}

[and G4] and G4 is CH (wherein G1, G2 and G3, are CH or NA provided that one or two of G1 to G3 is N MARCHESANIE CH);

X is CH and Y is N;

Z1 is a group represented by the formula -SO₂- or -CH₂-;
Z2 is a single bond, a lower alkylene group, a lower
alkenylene group or a lower alkynylene group;
Q is an optionally substituted aryl or an optionally
substituted heteroaryl group;

R1 is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower

alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 - G4, or a lower (2 lower 2 kery group) alkyl group or a lower alkenyl group that may be substituted with a desired number of substituents of group A or a lower alkoxy group, or a lower alkoxy group which may be substituted with a desired number of substituents of group A or a lower alkoxy group;

each of R2, R3, R4, R5, R6, R7, R8 and R9 forms an oxo (a carbony) group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonylalkylcarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in

4-position, an N-phenylcarbamoyl group or a group represented by the formula

-CONH(CH₂) $_p$ S(O) $_q$ R₁₀ or -CONH(CH₂) $_r$ NR₁₁R₁₂, or a lower alkyl group that may be substituted by R15;

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each of R10, R11 and R12 independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

provided that R6, when combined with the carbon atom to which it is bound, may represent R_{6a} -C- R_{6b} , wherein either R6a or R6b is a hydrogen atom and the other is the same as defined above for R6 or, alternatively, each of R6a and R6b independently represents a lower alkyl group;

also provided that if any one of the substituents R2 - R9
includes cyclic group, such cyclic group may be substituted
by one or two lower alkyl groups;

Take independently an integer of 0-3]

m is an integer of 0-3 and n is an integer of 1/2 p is an integer of 0-4, q is an integer of 0-2, and r is an integer of 1-4;). With the provisor in the excluded,

[The compaint or Selt Thereof according to claim]

2. The method claimed in claim 1 wherein the optionally

substituted aryl or heteroaryl group as Q of the formula (I') is an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B (a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula -NHCR₁₃-NHR₁₄ (wherein R13 is an optionally cyanosubstituted imino group or a group =CHNO2; R14 is a hydrogen atom or a methyl group), a phenyl group, a phenoxy group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-S(0)_t or heteroaryl-S(0)_t (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of

group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or disubstituted lower alkylamino group, a cyclic amino group, a nitro group, a carboxyl group, a mono- or disubstituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxycarbonyl group)] or a lower alkyl group that may be substituted by a desired number of substituents of group B.

A compound represented by the following formula (I") or a salt thereof:

Cand Gy]

and Gy is CH; (I")

(wherein G1, G2 and G3 are CH or N/ provided that one or two of G1 to G3 is N and G4 is QH:

X is CH and Y is N;

Z1 is a group represented by the formula $-SO_2-$ or $-CH_2-$;

Z2 is a single bond, a lower alkylene group, a lower

alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl or an optionally substituted heteroaryl group;

R1 is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a

trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 - G4, or a lower alkyl group, a lower alkoxy group or a lower alkenyl group that may be substituted with a desired number of substituents of group A; each of R2, R3, R4, R5, R6, R7, R8 and R9 forms an oxo group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, a lower alkoxycarbonylalkylcarbonyl group, an optionally mono- or di-lower alkyl substituted

carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in

4-position, an N-phenylcarbamoyl group or a group represented by the formula

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-CONH(CH₂)_pS(O)_qR₁₀ or -CONH(CH₂)_rNR₁₁R₁₂, or a lower alkyl group that may be substituted by R15;

each of R10, R11 and R12 independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an Nhydroxyimino group;

provided that R6, when combined with the carbon atom to which it is bound, may represent R6a-C-R6b, wherein either R6a or R6b is a hydrogen atom and the other is the same as defined above for R6 or, alternatively, each of R6a and R6b independently represents a lower alkyl group;

also provided that if any one of the substituents R2 - R9 includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m is an integer of 0-3 and n is an integer of 1, p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4;

with the proviso that when these compounds of formula (I") in which all of R2, R3, R4, R5, R6, R7, R8 and R9 are

independently selected from hydrogens or oxo groups and O is selected from the group consisting of five or sixmembered heterocycle, phenyl, phenyl alkenyl and naphthyl; any of which is optionally substituted are excluded).

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The compound or salt thereof according to claim 3, wherein the optionally substituted aryl or heteroaryl group as Q of the formula (I") is an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B [a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or disubstituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula -NHCR₁₃-NHR₁₄ (wherein R13 is an optionally cyanosubstituted imino group or a group =CHNO2; R14 is a

hydrogen atom or a methyl group), a phenyl group, a phenoxy

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group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-S(O)_t or heteroaryl-S(O)_t (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a nitro group, a carboxyl group, a mono- or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkyl group or a lower alkoxycarbonyl group)] or a lower alkyl group that may be substituted by a desired number of substituents of group B.

ORIHWAL 3.4 deleted.

5. A compound represented by the following general formula (II') or a salt thereof:

$$G_2=G_3$$
 G_1
 CH_2-N
 $N-SO_2$
 Q

(wherein G1, G2 and G3 are CH or N, provided that one or two of them is N;

one of R6a and R6b is a hydrogen atom and the other is

1) a group selected from among a carboxyl group, a lower
alkylcarbonyl group, a lower alkoxycarbonyl group and a
lower alkoxycarbonylalkylcarbonyl group;

- 2) a group selected from among an optionally mono- or dilower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperidin-1-ylcarbonyl group which may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group selected from among the groups represented by the formulae -CONH(CH₂) $_p$ S(O) $_q$ R₁₀ and -CONH(CH₂) $_r$ NR₁₁R₁₂ (wherein R10, R11 and R12 are independently a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group; p is an integer of 0 4, q is an integer of 0 2, and r is an integer of 1 4), or
- 3) a lower alkyl group optionally substituted by R15; R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group or an N-hydroxyimino group;

or R6a and R6b are both a lower alkyl group;

Q' is an aryl group optionally substituted by a group

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having any 1 - 4 halogen atoms or an aryl lower alkenylene group which may be similarly substituted).

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6. A compound of the formula (II"):

$$G_2=G_3$$
 G_1
 O
 CH_2-N
 $N-SO_2Q$
 (II'')

(wherein R6a and Q' have the same definitions as given for the substituent R6a but not a hydrogen and Q' in the formula (II')) or a salt thereof.

7. The compound or salt thereof according to claim 6, wherein R6a is a carboxyl group, a lower alkoxycarbonyl group, or a lower alkyl group that may be substituted by R15; R15 is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, or a lower alkanoyloxy group.

The compound or salt thereof according to claim 1 or 2, wherein at least one of G1, G2 and G3 is N and G4

8. A compound selected from the following list $_{\mbox{\scriptsize A}}$ or a salt thereof:

1-[(E)-4-chlorostyrylsulfonyl]-4-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine; Two COMPOUNDS OM(TNO) hthalene-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-

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ylmethyl]piperazine;
4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(benzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-yl-methyl]piperazine;
4-(5-fluorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(4-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-methoxybenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-[3-(ethoxycarbonylmethyl)benzo[b]thiophen-2-ylsulfonyl]-
1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazine;
1-[1-(4-pyridyl)piperidin-4-ylmethyl]-4-[3-
(trifluoromethyl)benzo[b]thiophen-2-ylsulfonyl]piperazine;
4-(3-nitrobenzo[b]thiophen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(benzo[b]furan-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazine;
4-(5-chlorobenzo[b] furan-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(2-methylbenzothiazol-6-ylsulfonyl)-1-{1-(4-
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pyridyl)piperidin-4-ylmethyl]piperazine;
4-(4-phenylbenzenesulfonyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazine;
4-(5-carboxy-2-chlorobenzenesulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-[5-(carboxymethyl)-2-chlorobenzenesulfonyl]-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(5-acetamidonaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(5-aminonaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(6-bromonaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(naphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(6-methylnaphthalen-2-ylsulfonyl)-1-[1-(4-
pyriyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-cyanonaphthalen-2-ylsulfonyl)-1-[1-(4-
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pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-hydroxynaphthalen-2-ylsulfonyl)-1-(1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(1-fluoronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-2-ethoxycarbonyl-1-[1-
(4-pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-chloronaphthalen-2-ylsulfonyl)-2-hydroxymethyl-1-[1-
(4-pyridyl)piperidin-4-ylmethyl]piperazine;
2-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-chloronaphthalen-2-ylsulfonyl)-2-[(2-
ethoxycarbonyl)acetyl]-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazine;
2-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-
(4-pyridyl)piperidin-4-ylmethyl]piperazine;
4-(6-chloronaphthalen-2-ylsulfonyl)-2-[N-
(ethylthioethyl)aminocarbonyl]-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazine;
2-acetyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazine; and
4-(6-chloronaphthalen-2-ylsulfonyl)-2-(N,N-
dimethylaminocarbonyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazine.
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9. A compound selected from the following list or a salt

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thereof:

4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 4-(6-chloronaphthalen-2-ylsulfonyl)-6-hydroxymethyl-1-(1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 6-acetoxymethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 4-[(E)-4-chlorostyrylsulfonyl]-6-ethoxycarbonyl-1-[1-(4pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 6-carboxy-4-[(E)-4-chlorostyrylsulfonyl]-1-[1-(4pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 6-aminocarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 6-aldoximyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinocarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one; 4-(6-chloronaphthalen-2-ylsulfonyl)-6dimethylaminocarbonyl-1-[1-(4-pyridyl)piperidin-4ylmethyl]piperazin-2-one; 4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxyaminocarbonyl-1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;



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4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-
hydroxypiperidinecarbonyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
6-aminomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-minomethyl-4-(4-mi
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-morpholinomethyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethylaminomethyl-
1-[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
6-acetamidomethyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-
(4-pyridyl)piperidin-4-ylmethyl)piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-
methanesulfonylamidomethyl-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-(4-
hydroxypiperidinemethyl)-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-dimethyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(2-naphthylsulfonyl)-6-hydroxymethyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
6-acetoxymethyl-4-(2-naphthylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
(S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-ethoxycarbonyl-1-
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[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-
 [1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
 (S)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methoxymethyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
 (R)-6-carboxy-4-(6-chloronaphthalen-2-ylsulfonyl)-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-propoxycarbonyl-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
(R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-n-
propoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6-isopropoxycarbonyl-1-
 [1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
 (R)-4-(6-chloronaphthalen-2-ylsulfonyl)-6-
isopropoxycarbonyl-1-[1-(4-pyridyl)piperidin-4-
ylmethyl]piperazin-2-one;
6-t-butoxycarbonyl-4-(6-chloronaphthalen-2-ylsulfonyl)-1-
[1-(4-pyridyl)piperidin-4-ylmethyl]piperazin-2-one;
4-(6-chloronaphthalen-2-ylsulfonyl)-6,6-dimethyl-1-[1-(4-
pyridyl)piperidin-4-ylmethyl]piperazin-2-one; and
(R) -4-[(E)-4-chlorostyrylsulfonyl]-6-methoxymethyl-1-[1-(4-interval)] -6-methoxymethyl-1-[1-(4-interval)] -6-methoxymethyl-1-[1-(4-inte
pyridyl)piperidin-4-ylmethyl]piperazin-2-one.
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Claim 10 (deleted)

11. A pharmaceutical composition containing at least one compound or salt thereof according to any one of claims 3 - 9 as an active ingredient.

Claim 12-15 (deleted)

16. A compound of the formula (VI') that may be protected with a suitable protective group or a salt thereof:

(wherein Gl - G4, R1 - R9, m and n have the same meanings as respectively defined for the formula (I") in claim 3).

Appl. No.: 09/582,442

Marked Up Version of Claims Showing Amendments:

1. (twice amended) A method for [preventing or] treating a disease for which the FXa inhibitor is indicated, comprising: administering an effective amount of a composition comprising a pharmaceutical carrier and at least one compound represented by the following formula (I') or a salt thereof:

$$R_{2}$$
 R_{3} R_{6} R_{7} R_{6} R_{7} R_{1} R_{2} R_{3} R_{4} R_{5} R_{8} R_{9} R_{9} R_{1}

(wherein G_1 , G_2 , and G_3 are independently CH or N and G_4 is CH, provided that one or two of G_1 to G_3 is N;

 $X ext{ is } \underline{CH} ext{ [Ch] and } Y ext{ is } N;$

Z₁ is a group represented by the formula -SO₂- or -CH₂-;

Z₂ is a single bond, a lower alkylene group, a lower alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl group in the form of a monocyclic or fused hydrocarbon ring having 6-14 carbon atoms or an optionally substituted heteroaryl group in a monocyclic or fused cyclic form having 1-4 heteroatoms comprising an oxygen, a sulfur, or a nitrogen atom;

R₁ is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a

lower alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 – G4, or a lower alkyl group or a lower alkenyl group that may be substituted with a desired number of substituted

each of R₂, R₃, R₄, R₅, R₆, R₇, R₈ and R₉ forms an oxo group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group

represented by the formula -CONH(CH₂) $_pS(O)_qR_{10}$ or -CONH(CH₂) $_rNR_{11}R_{12}$, or a lower alkyl group that may be substituted by R_{15} ;

each of R_{10} , R_{11} and R_{12} independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R₁₅ is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, or an N-hydroxyimino group;

provided that R_6 [, when combined with the carbon atom to which it is bound, may represent R_{6a} -C- R_{6b} , wherein either R_{6a} or R_{6b} is a hydrogen atom and the other is the same as defined above for R_6 or, alternatively, each of R_{6a} and R_{6b} independently represents a lower alkyl group] may also represent two lower alkyl groups in geminal;

also provided that if any one of the substituents R₂ - R₉ includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m is an integer of 0 - 3 and n is 1 [are independently an integer of 0 - 3], p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4[;

with the proviso that when X and Y are both N, n is 2 or 3 and Z_1 is - CH_2 - those compounds of formula (I) in which R_6 and R_8 in pair or R_7 and R_9 in pair are both carbonyl groups are excluded)].

2. (twice amended) The method according to claim 1, wherein the substituent of the optionally substituted aryl or heteroaryl group as Q of the formula (I') is [an aryl or heteroaryl group that may be substituted by] 1 - 4 groups in any combinations that are selected from among substituents of either group B (a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a mercapto group,, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula -NHCR₁₃-NHR₁₄ (wherein R₁₃ is an optionally cyanosubstituted imino group or a group -CHNO2; R14 is a hydrogen atom or a methyl group), a phenyl group, a phenoxy group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-S(0)t or heteroaryl-S(0)t (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of group B may be mono-, di-, or tri-substituted by any substituent of group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a nitro group, a carboxyl group, a mono or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxycarbonyl group)) or a lower alkyl group that may be substituted by a desired number of substituents of group B.

5. (amended) A compound represented by the following general formula (II') or a salt thereof:

(wherein G_1 , G_2 and G_3 are independently CH or N, provided that one or two of them is N;

one of R_{6a} and R_{6b} is a hydrogen atom and the other is

1) a group selected from among a carboxyl group, a lower alkylcarbonyl group,
a lower alkoxycarbonyl group and a lower alkoxycarbonylalkylcarbonyl group;
2) a group selected from among an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower

alkoxycarbonylalkylcarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperidin-1-ylcarbonyl group which may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group selected from among the groups represented by the formulae $-\text{CONH}(\text{CH}_2)_P\text{S}(0)_q\text{R}_{10}$ and $-\text{CONH}(\text{CH}_2)_r\text{NR}_{11}\text{R}_{12}$ (wherein R_{10} , R_{11} and R_{12} are independently a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group; p is an integer of 0 - 4, q is an integer of [U] $\underline{0}$ - 2, and r is an integer of 1 - 4), or

3) a lower alkyl group optionally substituted by R₁₅; R₁₅ is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, or an N-hydroxyimino group;

or R_{6a} and R_{6b} are both a lower alkyl group;

Q' is an aryl group optionally in the form of a monocyclic or fused hydrocarbon ring having 6-14 carbon atoms and is optionally substituted by a group having [any] 1 - 4 halogen atoms [or an aryl lower alkenylene group which may be similarly substituted]).

11. (twice amended) A pharmaceutical composition containing at least one compound or salt thereof according to any one of claims 5-9 or 17-19 [, 17, or 18] as an active ingredient.

17. (amended) A compound represented by the following formula (I") or a salt thereof:

$$R_{2}$$
 R_{3} R_{6} R_{7} R_{6} R_{7} R_{1} R_{2} R_{3} R_{4} R_{5} R_{8} R_{9} R_{9} R_{9}

(wherein G_1 , G_2 , and G_3 are independently CH or N and G_4 is CH, provided that one or two of G_1 to G_3 is N;

X is CH and Y is N;

 Z_1 is a group represented by the formula -S0₂- or -CH₂-;

Z₂ is a single bond, a lower alkylene group, a lower alkenylene group or a lower alkynylene group;

Q is an optionally substituted aryl group in the form of a monocyclic or fused hydrocarbon ring having 6-14 carbon atoms or an optionally substituted heteroaryl group in a monocyclic or fused cyclic form having 1-4 heteroatoms comprising an oxygen, a sulfur, or a nitrogen atom;

R₁ is either any substituent selected from group A (a hydrogen atom, a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a

lower alkanoyl group, a lower alkoxy group, a lower alkoxycarbonyl group, a mono- or di-substituted lower alkylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a lower alkanoylamino group, a phenyl group, a phenoxy group, a benzyloxy group, a benzoyl group, a mercapto group, a lower alkylthio group, a lower alkylthiocarbonyl group, a hydroxyl group or a mono- or di.-substituted lower alkylaminocarbonyl group), or an oxygen atom that forms a N-oxide group with N in any one of G1 – G4, or a lower alkyl group, a lower alkoxy group, or a lower alkenyl group that may be substituted with a desired number of substituents of group A;

each of R₂, R₃, R₄, R₅, R₆, R₇, R₈ and R₉ forms an oxo group when combined with the carbon atom on the ring to which they are bound, or they are each a hydrogen atom, a carboxyl group, a lower alkylcarbonyl group, a lower alkoxycarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, a lower alkoxycarbamoyl group, a lower alkoxycarbamoyl group, a pyrrolidin-1-ylcarbonyl group, a morpholinocarbonyl group, a piperazin-1-ylcarbonyl group that may be substituted by a methyl group in 4-position, a piperidin-1-ylcarbonyl group that may be substituted by a methyl group or a hydroxyl group in 4-position, an N-phenylcarbamoyl group or a group represented by the formula -CONH(CH₂)_pS(O)_qR₁₀ or -CONH(CH₂) rNR₁₁R₁₂, or a lower alkyl group that may be substituted by R₁₅;

each of R_{10} , R_{11} and R_{12} independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

R₁₅ is a carboxyl group, a lower alkoxycarbonyl group, a hydroxyl group, a lower alkoxy group, a lower alkanoyloxy group, an amino group, a mono- or di-substituted lower alkylamino group, a lower alkanoylamino group, a lower alkylsulfonylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, or an N-hydroxyimino group;

provided that R_6 [, when combined with the carbon atom to which it is bound, may represent R_{6a} -C- R_{6b} , wherein either R_{6a} or R_{6b} is a hydrogen atom and the other is the same as defined above for R_6 or, alternatively, each of R_{6a} and R_{6b} independently represents a lower alkyl group] may also represent two lower alkyl groups in geminal;

also provided that if any one of the substituents R_2 - R_9 includes cyclic group, such cyclic group may be substituted by one or two lower alkyl groups;

m is an integer of 0 - 3 and n is 1, p is an integer of 0 - 4, q is an integer of 0 - 2, and r is an integer of 1 - 4;

with the proviso that when these compounds of formula (I') in which all of R2, R3, R, R5, R6, R7, R8, and R9 are independently selected from hydrogens or oxo groups and Q is selected from the group consisting of five- or six-membered heterocycle, phenyl, phenyl alkenyl, and naphthyl, any of which is optionally substituted, are excluded.

18. (amended) The compound or salt thereof according to claim 17, wherein the substituents of the optionally substituted aryl or heteroaryl group as Q of the formula (I") is [an aryl or heteroaryl group that may be substituted by 1 - 4 groups in any combinations that are selected from among substituents of either group B (a halogen atom, a trifluoromethyl group, a trifluoromethoxy group, a trifluoromethanesulfonyl group, a carboxyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxyl group, a lower alkoxycarbonyl group, a monoor di-substituted lower alkylamino group, a lower alkanoylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a mercapto group,, a lower alkylthio group, a lower alkylthiocarbonyl group, a lower alkylsulfonyl group, a lower alkylsulfinyl group, a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group, an amidino group which is optionally substituted with sulfamoyl or carbamoyl group, the formula -NHCR₁₃-NHR₁₄ (wherein R₁₃ is an optionally cyano-substituted imino group or a group -CHNO2; R₁₄ is a hydrogen atom or a methyl group), a phenyl group, a phenoxy group, a heteroaryl group, a heteroaryloxy group, or a group represented by phenyl-S(0)t or heteroaryl-S(0)t (wherein t is an integer of 0 - 2), the heteroaryl group of group B is a 5- or 6membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that all aromatic rings of

group B may be mono-, di-, or tri-substituted by any substituent of group C (a halogen atom, a trifluoromethyl group, a cyano group, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group optionally substituted by a lower alkyl group or a hydroxyl group and being a pyrrolidinyl group, a piperidinyl group, a morpholino group, or a piperazinyl group, a nitro group, a carboxyl group, a mono or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or a lower alkoxycarbonyl group)) or a lower alkyl group that may be substituted by a desired number of substituents of group B.